

(i) a C₁₋₁₂ alkyl, straight-chain or branched-chain, optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄ aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R⁴,

(ii) -C₂₋₁₂ alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄ aryl groups and the included carbocyclic and heterocyclic substituents for their part can optionally be mono- or polysubstituted by R⁴,

(iii) mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members,

optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄ aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R⁴,

(iv) mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄ aryl groups and the included carbocyclic and heterocyclic substituents for their part can be optionally mono- or polysubstituted by R⁴, -carbo- or heterocyclic saturated or mono- or polyunsaturated spirocycles having from 3 to 10 ring members, where heterocyclic systems contains from 1 to 6 heteroatoms, which are suitably N, O and S, optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄ aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R⁴,

R², R³ are hydrogen or -OH, where at least one of the two substituents must

be -OH;

R⁴ is -H, -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -COOH, -(CO)R⁶, -(CS)R⁶, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₂R⁶.

R⁶ is -H, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -C₁₋₁₂ alkyl, straight-chain or

branched-chain, $-C_{2-12}$ alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, -mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, -mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S;

A is either a bond, or $-(CH_2)_m-$, $-(CH_2)_m-(CH=CH)_n-(CH_2)_p-$, $-(CHOZ)_m-$, $-(C=O)-$, $-(C=S)-$, $-(C=N-Z)-$, $-O-$, $-S-$, $-NZ-$, where m and p are cardinal numbers from 0 to 3 and n is a cardinal number from 0 to 2,

B/ Z is H, or a C_{1-12} alkyl, straight-chain or branched-chain, C_{2-12} alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S;

B is either carbon or sulfur, or $-(S=O)-$;

D is oxygen, sulfur, CH_2 or N-Z, where D can only be S or CH_2 if B is carbon;

E is a bond, or $(CH_2)_m-$, $-O-$, $-S-$, $-(N-Z)-$, where m and Z have the same meanings as above; wherein

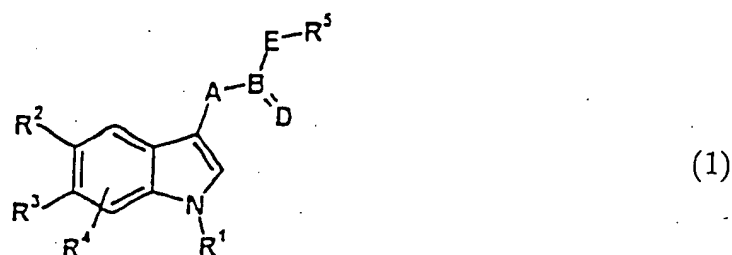
R^5 is pyridyl which may be optionally mono or polyunsubstituted which method comprises converting a compound of claim 1 wherein R_1 or R^3 , or R^2 and R^3 is $-O-R^7$ in which R^7 is a leaving group.

22. The process of claim 21, wherein said leaving group is alkyl, cycloalkyl, arylalkyl, aryl, heteroaryl, acyl, alkoxycarbonyl, aryloxycarbonyl, aminocarbonyl, N-substituted aminocarbonyl, silyl or sulfonyl residue or a complexing agent.

23. The process of claim 22, wherein said complexing agent is a compound of boric acid or phosphoric acid, or a compound containing a covalently bonded metal.

24. The process of claim 23, wherein said metal is zinc, aluminum, or copper.

25. A process for preparing a compounds of Formula 1



and their pharmaceutically acceptable salts, wherein R^1 , R^5 are independently of each other

(i) a C_{1-12} alkyl, straight-chain or branched-chain, optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄ aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R⁴,

(ii) -C₂₋₁₂ alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄ aryl groups and the included

carbocyclic and heterocyclic substituents for their part can optionally be mono- or polysubstituted by R^4 ,

(iii) mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members,

optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄ aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R^4 ,

(iv) mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄ aryl groups and the included carbocyclic and heterocyclic substituents for their part can be optionally mono- or polysubstituted by R^4 , -carbo- or heterocyclic saturated or mono- or polyunsaturated spirocycles having from 3 to 10 ring members, where heterocyclic systems contains from 1 to 6 heteroatoms, which are suitably N, O and S, optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members,

mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄ aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R⁴,

R², R³ are hydrogen or -OH, where at least one of the two substituents must be -OH;

R⁴ is -H, -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -COOH, -(CO)R⁶, -(CS)R⁶, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₂R⁶.

B¹ R⁶ is -H, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -C₁₋₁₂ alkyl, straight-chain or branched-chain, -C₂₋₁₂ alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, -mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, -mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S;

A is either a bond, or -CH₂)_m-, -(CH₂)_m-(CH=CH)_n-(CH₂)_p-, -(CHOZ)_m-, -(C=O)-, -(C=S)-, -(C=N-Z)-, -O-, -S-, -NZ-, where m and p are cardinal numbers from 0 to 3 and n is a cardinal number from 0 to 2,

Z is H, or a C₁₋₁₂ alkyl, straight-chain or branched-chain, C₂₋₁₂ alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S;